09/806,335

STN - Structure Search 11-1-04

=> d ibib abs hitstr 1-8

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:767328 CAPLUS
DOCUMENT NUMBER: 138:378535
TITLE: Highly potent non-peptidic inhibitors of the HCV NS3/NS4A serine protease
AUTHOR(S): Sperandio, David; Gangloff, Anthony R.; Litvak, Joane; Goldsmith, Richard; Hataye, Jason M.; Wang, Vivian R.; Shelton, Emma J.; Elrod, Kyle; Janc, James W.; Clark, James M.; Rice, Ken; Weinheimer, Steve; Yeung, Kap-Sun; Meanwell, Nicholas A.; Hernandez, Dennis; Staab, Andrew J.; Venables, Brian L.; Spencer, Jeffrey R.
CORPORATE SOURCE: Celera, South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3129-3133
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:378535

AB Screening of a diverse set of bisbenzimidazoles for inhibition of the hepatitis C virus (HCV) serine protease NS3/NS4A led to the identification of a potent Zn2+-dependent inhibitor. Optimization of this screening hit afforded a 10-fold more potent inhibitor under Zn2+ conditions (Ki=27 nM). This more potent compound binds also to NS3/NS4A in a Zn2+ independent fashion (Ki=1 μM). The structure-activity relationship (SAR) of this class of compds. under Zn2+ conditions is highly divergent compared to the SAR in the absence of Zn2+, suggesting two distinct binding modes.

IT **263870-19-5**, CRA 6336 **263870-33-3 263870-43-5**
524940-00-9 524940-02-1 524940-04-3
524940-07-6 524940-10-1 524940-11-2
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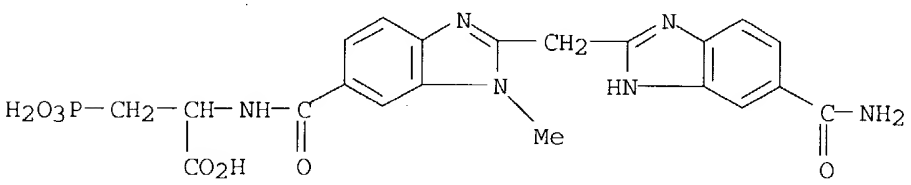
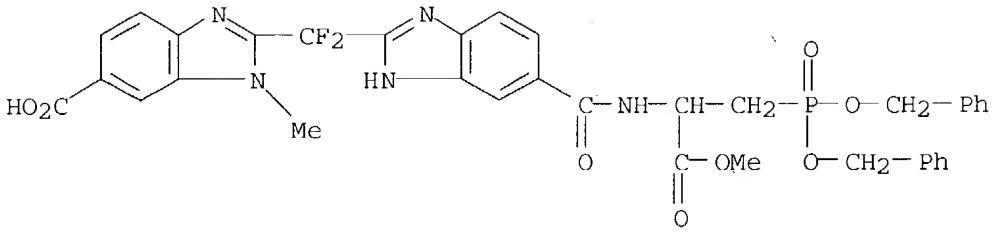
524940-18-9 524940-19-0 524940-20-3
524940-21-4 524940-22-5 524940-23-6
524940-24-7 524940-25-8 524940-26-9
524940-27-0 524940-28-1 524940-29-2
524940-30-5 524940-31-6 524940-32-7
524940-33-8 524940-34-9 524940-35-0
524940-36-1 524940-37-2 524940-38-3
524940-39-4 524940-40-7 524940-41-8
524940-42-9 524940-43-0 524940-44-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relationship of bisbenzimidazoles as highly potent non-peptidic inhibitors of hepatitis C virus NS3/NS4A serine protease)

RN 263870-19-5 CAPLUS
CN Alanine, N-[[2-[[5-(aminocarbonyl)-1H-benzimidazol-2-yl]methyl]-1-methyl-1H-benzimidazol-6-yl]carbonyl]-3-phosphono- (9CI) (CA INDEX NAME)

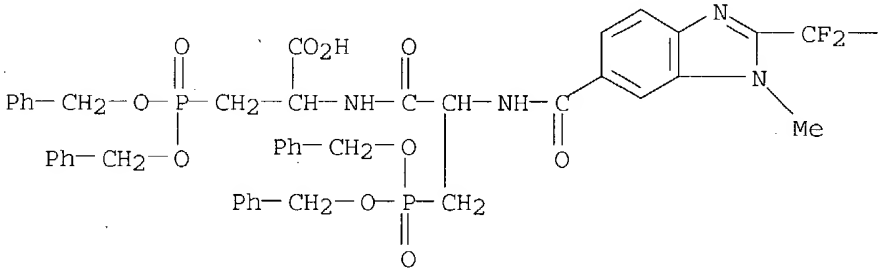


RN 263870-33-3 CAPLUS

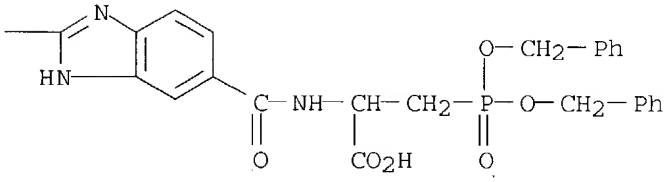


RN 596807-19-1 CAPLUS
CN Alanine, 3-[bis(phenylmethoxy)phosphinyl]-N-[[2-[[5-[[[2-[bis(phenylmethoxy)phosphinyl]-1-carboxyethyl]amino]carbonyl]-1H-benzimidazol-2-yl]difluoromethyl]-1-methyl-1H-benzimidazol-6-yl]carbonyl]alanyl-3-[bis(phenylmethoxy)phosphinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

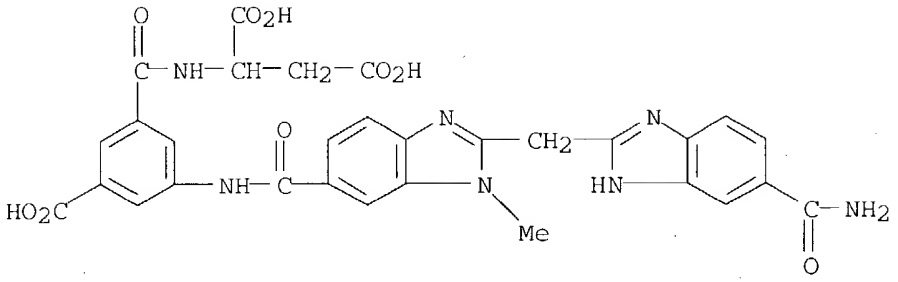


PAGE 1-B



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:629002 CAPLUS
DOCUMENT NUMBER: 136:31290
TITLE: Structure-activity relationship studies of a bisbenzimidazole-based, Zn2+-dependent inhibitor of HCV NS3 serine protease
AUTHOR(S): Yeung, K.-S.; Meanwell, N. A.; Qiu, Z.; Hernandez, D.; Zhang, S.; McPhee, F.; Weinheimer, S.; Clark, J. M.; Janc, J. W.
CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, 06492, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(17), 2355-2359
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.



Inventor

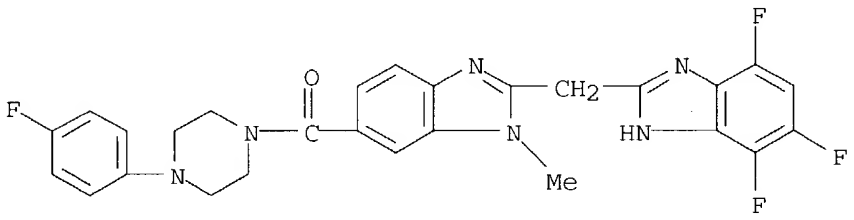
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:241202 CAPLUS
DOCUMENT NUMBER: 132:265195
TITLE: Preparation of bis-benzimidazoles as tryptase inhibitors
INVENTOR(S): Mittendorf, Joachim; Henning, Rolf; Raddatz, Siegfried; Schlemmer, Karl-heinz; Hiraoka, Makiko; Kadono, Hiroshi; Mogi, Muneto; Moriwaki, Toshiya; Murata, Toshiki; Sakakibara, Sachiko; Shimada, Mitsuyuki; Yoshida, Nagahiro; Yoshino, Takashi
PATENT ASSIGNEE(S): Bayer Yakuhin, Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000020401	A1	20000413	WO 1999-JP5319		19990929
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2346040	AA	20000413	CA 1999-2346040		19990929
AU 9959981	A1	20000426	AU 1999-59981		19990929
EP 1117651	A1	20010725	EP 1999-969935		19990929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002526537	T2	20020820	JP 2000-574518		19990929
PRIORITY APPLN. INFO.:			US 1998-102711P	P	19981001
			US 1999-117269P	P	19990126
			US 1999-123277P	P	19990309
			WO 1999-JP5319	W	19990929

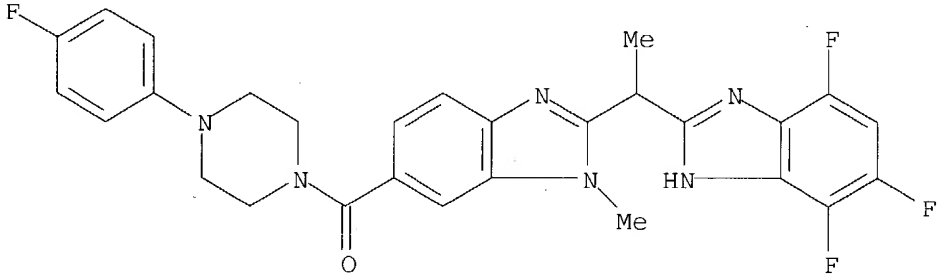
OTHER SOURCE(S): MARPAT 132:265195
GI

CN Piperazine, 1-(4-fluorophenyl)-4-[[1-methyl-2-[(4,5,7-trifluoro-1H-benzimidazol-2-yl)methyl]-1H-benzimidazol-6-yl]carbonyl]- (9CI) (CA INDEX NAME)



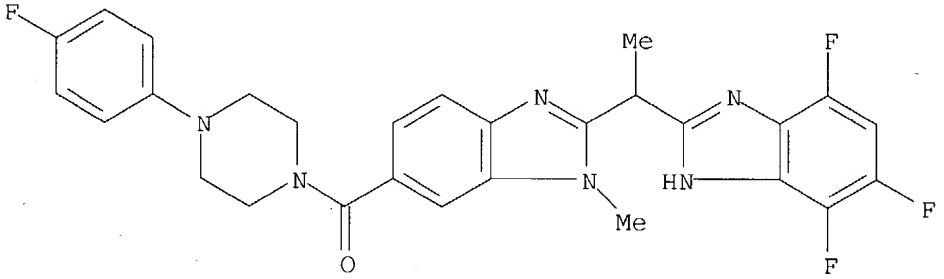
RN 263409-67-2 CAPLUS
CN Piperazine, 1-(4-fluorophenyl)-4-[[1-methyl-2-[1-(4,5,7-trifluoro-1H-benzimidazol-2-yl)ethyl]-1H-benzimidazol-6-yl]carbonyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 263409-68-3 CAPLUS
CN Piperazine, 1-(4-fluorophenyl)-4-[[1-methyl-2-[1-(4,5,7-trifluoro-1H-benzimidazol-2-yl)ethyl]-1H-benzimidazol-6-yl]carbonyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:241200 CAPLUS
DOCUMENT NUMBER: 132:279216
TITLE: Preparation of benzimidazolylcarbonylaminopropionates and related compounds for treating hepatitis C infection.

09/806,335

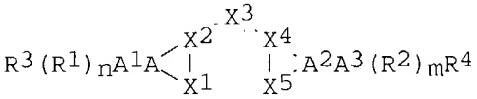
INVENTOR(S): Hataye, Jason M.; Rice, Kenneth; Shelton, Emma J.; Spencer, Jeffrey R.; Wang, Vivian R.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020400	A1	20000413	WO 1999-US22850	19991004

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

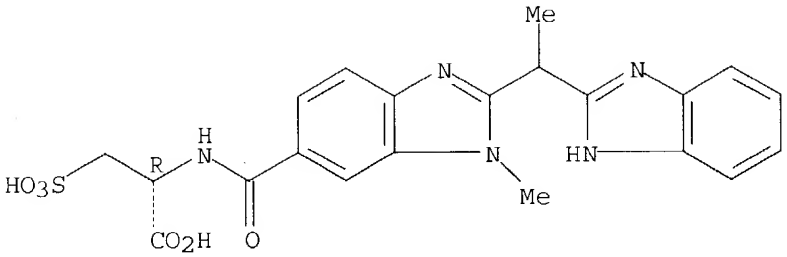
PRIORITY APPLN. INFO.: US 1998-103085P P 19981005
OTHER SOURCE(S): MARPAT 132:279216
GI



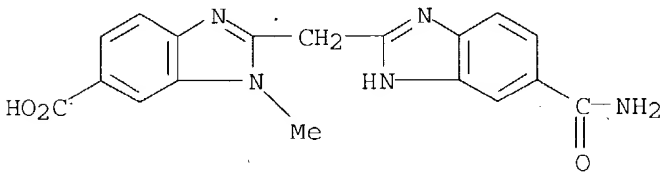
I

AB Title compds. [I; n = 0-4; m = 0-3; AA1, A2A3 = atoms to form 8-12 membered fused heterobicyclyl; X1 = N, NR5, O, S; R5 = H, alkyl; X5 = N, NR6, O, S; R6 = H, (substituted) alkyl; X3 = O, S, SO, SO2, CO, NR8, CR8R9; R8, R9 = H, halo, (substituted) alkyl; R8R9 = alkylene, alkylidene; R1, R2 = alkyl, alkoxy, alkanoyloxy, alkylthio, halo, OH, SH; R3 = cyano, R11, COR11, CO2R11, SOR11, SO2R11, etc.; R4 = R15, OR15, SR15, SOR15, SO2R15, COR15, etc.; R11 = H, (substituted) alkyl, cycloalkyl, aralkyl, etc.; R15 = alkyl substituted with 1-2 of P(O)(OR17)2, SO2OR17 and optionally substituted with 1-2 of CO2R17; R17 = H, alkyl], were prepared Thus, 2-(5-carbamoyl-1H-benzimidazol-2-ylmethyl)-3-methyl-3H-benzimidazole-5-carboxylic acid (preparation given) in DMF at 0° was treated with PyBroP, diisopropylethylamine, and a DMF solution of 2-amino-3-phosphonopropionic acid tris(trimethylsilyl)ester (prepared in situ) followed by warming to 40° and stirring for 12 h to give 18% 2-[[2-(5-carbamoyl-1H-benzimidazol-2-ylmethyl)-3-methyl-3H-benzimidazol-5-carbonyl]amino]phosphonopropionic acid. The latter inhibited HCV NS3 protease with Ki = 0.062 μM.

IT **263870-19-5P 263870-20-8P 263870-21-9P**
263870-22-0P 263870-24-2P 263870-25-3P
263870-26-4P 263870-27-5P 263870-28-6P
263870-29-7P 263870-30-0P 263870-31-1P
263870-32-2P 263870-33-3P 263870-34-4P
263870-42-4P 263870-43-5P 263870-44-6P
263870-45-7P 263870-46-8P 263870-47-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazolecarbonylaminopropionates and related compds.



IT **263870-49-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazolecarbonylaminopropionates and related compds. for treating hepatitis C infection)
RN 263870-49-1 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 2-[[5-(aminocarbonyl)-1H-benzimidazol-2-yl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

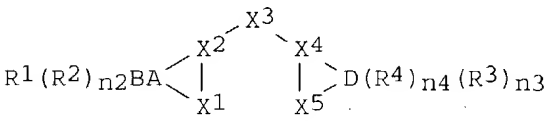
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:211104 CAPLUS
DOCUMENT NUMBER: 133:39762
TITLE: A Novel Approach to Serine Protease Inhibition: Kinetic Characterization of Inhibitors Whose Potencies and Selectivities Are Dramatically Enhanced by Zinc(II)
AUTHOR(S): Janc, James W.; Clark, James M.; Warne, Robert L.; Elrod, Kyle C.; Katz, Bradley A.; Moore, William R.
CORPORATE SOURCE: Axys Pharmaceuticals, South San Francisco, CA, 94080, USA
SOURCE: Biochemistry (2000), 39(16), 4792-4800
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Serine proteases play a role in a variety of disease states and thus are attractive targets for therapeutic intervention. We report the kinetic characterization of a class of serine protease inhibitors whose potencies and selectivities are dramatically enhanced in the presence of Zn(II). The structural basis for Zn(II)-mediated inhibition of trypsin-like proteases has recently been reported [Katz, B. A., Clark, J. M., Finer-Moore, J. S., Jenkins, T. E., Johnson, C. R., Ross, M. J., Luong, C., Moore, W. R., and Stroud, R. M. (1998) Nature 391, 608-612]. A case study of the kinetic behavior of human tryptase inhibitors is provided to illustrate the general phenomenon of Zn(II)-mediated inhibition. Tryptase, Zn(II), and the inhibitor form a ternary complex which exhibits classic tight-binding inhibition. The half-life for release of inhibitor from the tryptase-Zn(II)-inhibitor complex has been measured for a number of

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:354488 CAPLUS
DOCUMENT NUMBER: 131:19005
TITLE: Preparation of amidinobenzimidazolylheterocycles as anticoagulants.
INVENTOR(S): Fatheree, Paul R.; Jenkins, Thomas E.; Li, Yong; Linsell, Martin S.; Rai, Roopa; Shrader, William D.; Trapp, Sean G.; Young, Wendy B.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9926932	A1	19990603	WO 1998-US25216	19981125
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916071	A1	19990615	AU 1999-16071	19981125
PRIORITY APPLN. INFO.:			US 1997-72654 P	19971126
			WO 1998-US25216 W	19981125

OTHER SOURCE(S): MARPAT 131:19005
GI



I

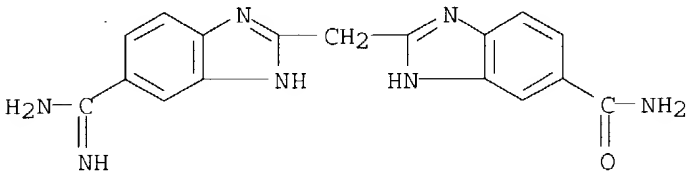
AB Title compds. [I; AB = atoms to form a fused heterobicyclyl; X1, X5 = N, NR5, O, S; R5 = R6, X6R6; X6 = linking group; R6 = H, aryl, cycloalkyl, heteroaryl, heterocycloalkyl, heteropolycycloaryl, polycycloaryl; D = atoms to form a heterocyclyl, heteropolycyclyl; X3 = O, S, CO, NR7, SiR7R8, CR7R8; R7 = H, alkyl, OH; R8 = R6, X6R6; R7 and/or R8 = atoms to form alkylene; R1 = amidino; R2 = H, alkyl, alkoxy, alkylsulfonyl, alkylthio, CO2H, halo, heteroalkyl, OH, SH, NO2; X2, X4 undefined; R3 = H, cyano, halo, NO2, perhaloalkyl, perhaloalkoxy; R4 = R6, X6R6; n2 = 1-3; n3 = 1-4; n4 = 1, 2], were prepared Thus, 3,4-diaminobenzamidine, Et 5,6-difluoro-1H-benzimidazol-2-ylacetate, and polyphosphoric acid were heated for 2.5 h at 165° to give 91% 2-(5,6-difluoro-1H-benzimidazol-2-ylmethyl)-1H-benzimidazole-5-carboxamidine. The latter inhibited human Factor Xa with Ki = 0.0008 μM.

IT **226574-46-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinobenzimidazolylheterocycles as anticoagulants)

RN 226574-46-5 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[5-(aminoiminomethyl)-1H-benzimidazol-2-yl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:682372 CAPLUS

DOCUMENT NUMBER: 129:316232

TITLE: Preparation of compounds and compositions for treating diseases associated with serine protease, particularly tryptase, activity

INVENTOR(S): Church, Timothy J.; Cutshall, Neil Scott; Gangloff, Anthony R.; Jenkins, Thomas E.; Linsell, Martin S.; Litvak, Joane; Rice, Kenneth D.; Spencer, Jeffrey R.; Wang, Vivian R.

PATENT ASSIGNEE(S): Axys Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845275	A1	19981015	WO 1997-US21849	19971201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858950	A1	19981030	AU 1998-58950	19971201
AU 752064	B2	20020905		
CN 1251579	A	20000426	CN 1997-182098	19971201
EE 9900477	A	20000615	EE 1999-477	19971201
EE 4055	B1	20030616		
EP 1019382	A1	20000719	EP 1997-954520	19971201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 500029	A	20010223	NZ 1997-500029	19971201
JP 2001519806	T2	20011023	JP 1998-542739	19971201
MX 9909006	A	20000831	MX 1999-9006	19991001
NO 9904858	A	19991206	NO 1999-4858	19991006
LV 12495	B	20010120	LV 1999-153	19991102
LT 4704	B	20000925	LT 1999-131	19991105
US 2001053779	A1	20011220	US 2001-874412	20010604

US 6562854	B2	20030513			
US 2003212120	A1	20031113	US 2003-401415		20030314
PRIORITY APPLN. INFO.:			US 1997-833674	A	19970407
			US 1994-357491	B2	19941214
			US 1997-980515	A1	19971201
			WO 1997-US21849	W	19971201
			US 2001-874412	A1	20010604

OTHER SOURCE(S): CASREACT 129:316232; MARPAT 129:316232

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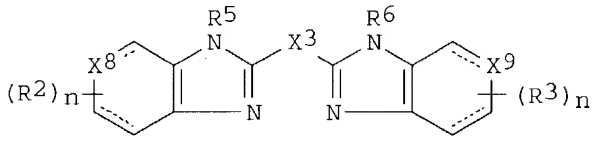


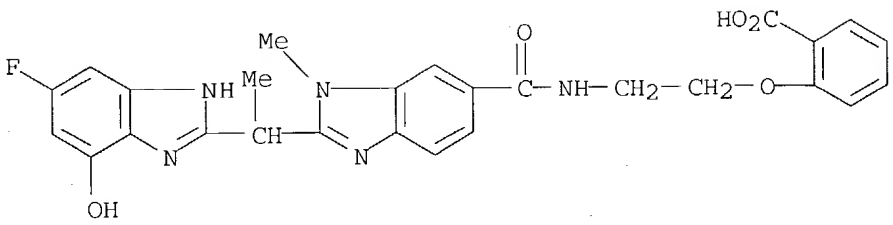
I

AB A preferred aspect of the invention are compds. of Formula [I; in which: the dashed lines independently represent optional bonds; each R2 independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; each R3 independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; X3 is -C(O)- or -CR7R8-, X8 is -CH(R1)n1- or -C(R1)n1=, wherein R1 is amino(N1-4)azolidinyl, amino(N1-4)azolyl, (N1-4)azolidinyl, (N1-4)azolyl, etc.; X8 is -N= or -NH(R1)n1-, wherein R1 is -C(NR9)R9, -C(NH)NHR10 or -C(NH)NR10R10, wherein R9 independently is hydrogen or (C1-6)alkyl and each R10 independently is (C1-6)alkyl; and X9 is -CH(R4)- or -C(R4)=, wherein R4 is -R12, -OR12, -N(R13)R12, etc.; wherein R4 is -C(O)R12, -C(O)OR12, -C(O)N(R13)R12, etc.; R12 is cyano, guanidino, halo, alkyl, etc.; R13 is hydrogen, alkyl; R5 is hydrogen or (C1-4)alkyl, R6 is hydrogen or (C1-4) alkyl; R7 is hydrogen, methyl; R8 is hydrogen Me, hydroxy; n = 0-4]. The compds., compns. and methods are effective for the prevention and treatment of inflammatory diseases associated with the respiratory tract, such as asthma and allergic rhinitis, as well as other types of immunomediated inflammatory disorders, such as rheumatoid arthritis, conjunctivitis and inflammatory bowel disease, various dermatol. conditions, as well as certain viral conditions. The compds. comprise potent and selective inhibitors of the mast-cell protease tryptase. The compns. for treating these conditions include oral, inhalant, topical and parenteral prepns. as well as devices comprising such prepns.

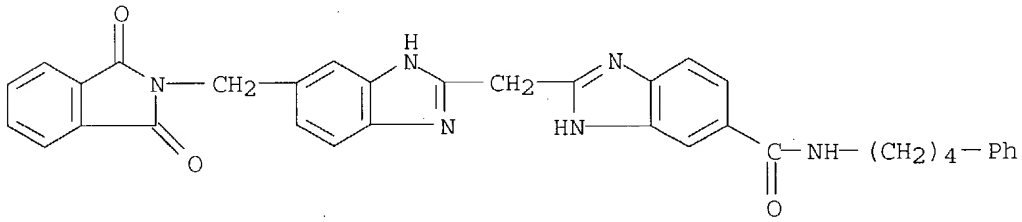
IT **214779-60-9P 214780-02-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of arenoimidazoles for treating human inflammatory disorder)

RN 214779-60-9 CAPLUS

CN Benzoic acid, 2-[2-[[[2-[1-(5-hydroxy-1H-benzimidazol-2-yl)ethyl]-1-methyl-1H-benzimidazol-6-yl]carbonyl]amino]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)



IT **214781-97-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arenoimidazoles for treating human inflammatory disorder)
RN 214781-97-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[[5-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-1H-benzimidazol-2-yl]methyl]-N-(4-phenylbutyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:712073 CAPLUS
DOCUMENT NUMBER: 123:112063
TITLE: preparation of bis(amidinobenzimidazolyl)alkanes as antiviral agents
INVENTOR(S): Cleary, Darryl Gene; Cory, Michael; Sherman, Paula Ann
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9508540	A1	19950330	WO 1994-GB2051	19940921
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476615	A1	19950410	AU 1994-76615	19940921
HU 71345	A2	19951128	HU 1995-1811	19940921
ZA 9407352	A	19960322	ZA 1994-7352	19940921
EP 720603	A1	19960710	EP 1994-927000	19940921

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 09506335 T2 19970624 JP 1994-509643 19940921
PRIORITY APPLN. INFO.: US 1993-125466 19930922
US 1994-232915 19940425
WO 1994-GB2051 19940921
OTHER SOURCE(S): MARPAT 123:112063

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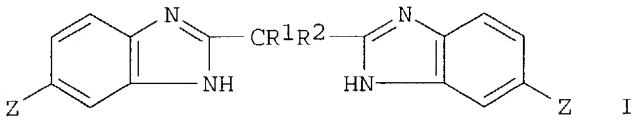


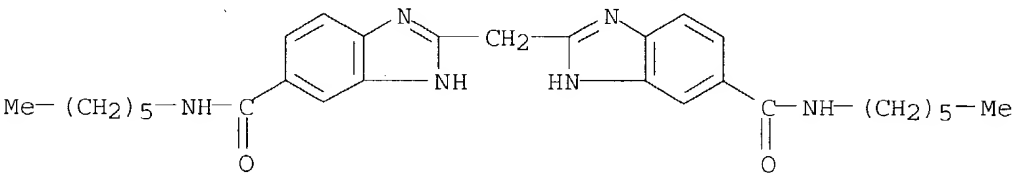
I

AB Title compds. I (R, R1 = H, F, HO, H2N, C1-6 alkoxy, C1-6 alkyl, C3-7 cycloalkyl,C2-6 alkenyl, Ph, Ph-C1-6 alkyl; Z = (substituted)heterocyclyl, substituted amidino, substituted amino, etc.) or a salt thereof, are prepared Et 4-amino-3-nitrobenzimidate (prepn given) and hexylamine were refluxed to give 3,4-diamino-N'-hexylbenzamidine, which was treated with di-Et malonimidate to give I (R = R1 = H, Z n-hexylcarboxamido) (II). In an HIV assay the IC50 of II was 2.6 μM. Pharmaceutical formulations comprising I are given.

IT **165596-09-8P 165596-11-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bis(amidinobenzimidazolyl)alkanes as antiviral agents)

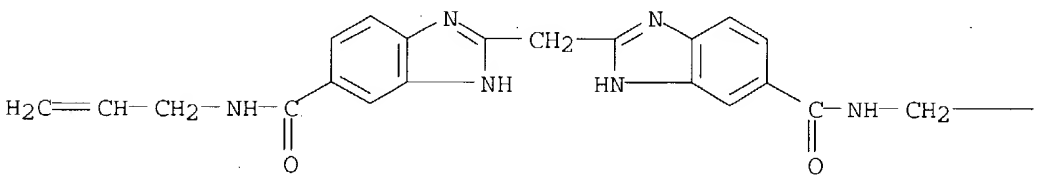
RN 165596-09-8 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2,2'-methylenebis[N-hexyl- (9CI) (CA INDEX NAME)



RN 165596-11-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2,2'-methylenebis[N-2-propenyl- (9CI) (CA INDEX NAME)



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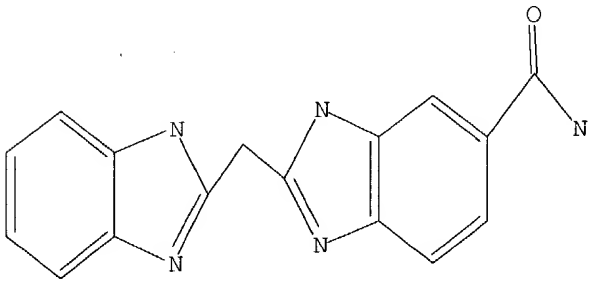
L3 369 S L1 FULL

FILE 'CAPLUS' ENTERED AT 12:24:10 ON 01 NOV 2004
L4 8 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



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Day : Monday
Date: 11/1/2004
Time: 12:33:01

Inventor Name Search Result

Your Search was:

Last Name = MITTENDORF
First Name = JOACHIM

Application#	Patent#	Status	Date Filed	Title	Invent 32
60123277	Not Issued	159	03/09/1999	NEW BIS-BENZIMIDAZOLES	MITTI , JOAC
60117269	Not Issued	159	01/26/1999	NEW BIS-BENZIMIDAZOLES	MITTI , JOAC
60102711	Not Issued	159	10/01/1998	NEW BIS-BENZIMIDAZOLES	MITTI , JOAC
10225823	6727279	150	08/21/2002	NOVEL ARYLSULPHONAMIDES AND ANALOGUES	MITTI JOACI
09906296	6649618	150	07/16/2001	SUBSTITUTED AMIDOALKYL-URACILS AND THEIR USE	MITTI JOACI
09878392	6573278	150	06/11/2001	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	MITTI JOACI
09867021	6525087	150	05/29/2001	USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1	MITTI JOACI
09806335	Not Issued	030	06/04/2001	BIS-BENZIMIDAZOLES	MITTI JOACI
09763215	6469054	150	02/16/2001	NOVEL ARYL SULPHONAMIDES AND ANALOGUES	MITTI JOACI
09763196	6545050	150	02/16/2001	NOVEL ARYL SULPHONAMIDE AMINO ACID ESTERS AND ANALOGUES	MITTI JOACI
09367456	6262112	150	11/15/1999	ARYL SULFONAMIDES AND ANALOGUES THEREOF AND THEIR USE IN THE TREATMENT OF NEURODEGENERATIVE DISEASES	MITTI , JOAC
09282478	Not Issued	163	03/31/1999	TOLERABILITY OF PHARMACEUTICALLY ACTIVE BETA-AMINO ACIDS	MITTI , JOAC
09276220	RE36607	150	03/25/1999	PYRROLIDINE COMPOUNDS AND PROCESS OF PREPARING	MITTI , JOAC
09158428	5910593	150	09/21/1998	NEW HIGHLY SELECTIVE PROCESS FOR THE PREPARATION OF	MITTI , JOAC

				ENANTIOMERICALLY PURE PHENYLSUBSTITUTED 1,4-DIHYDROPYRIDINE-3,5-DICARBOXYLIC ACID DERIVATIVES	
09024590	6284788	150	02/17/1998	USE OF KNOWN AGONISTS OF THE CENTRAL CANNABINOID RECEPTOR CB1	MITTI , JOAC
08896275	5849924	150	07/16/1997	NEW HIGHLY SELECTIVE PROCESS FOR THE PREPARATION OF ENANTIOMERICALLY PURE PHENYL-SUBSTITUTED 1,4-DIHYDROPYRIDINE-3,5-DICARBOXYLIC ACID DERIVATIVES	MITTI , JOAC
08843102	5877343	150	04/25/1997	NEW EFFICIENT AND HIGHLY ENANTIOSELECTIVE PROCESS FOR THE PREPARATION OF ENANTIOMERICALLY PURE CYCLOPENTANE-BETA-AMINO ACIDS-	MITTI , JOAC
08709073	5770622	150	09/06/1996	METHOD OF PRESERVING MATERIALS USING 4-METHYLENE-2-AMINO-CYCLOPENTANE-1-CARBOXYLIC ACIDS	MITTI , JOAC
08679038	5663150	150	07/12/1996	CYCLOPENTANE-BETA-AMINO ACID TRIPEPTIDES	MITTI , JOAC
08679027	5935988	150	07/12/1996	IMPROVING THE TOLERABILITY OF PHARMACEUTICALLY ACTIVE (BETA)-AMINO ACIDS	MITTI , JOAC
08666492	5962724	150	07/05/1996	NOVEL HIGH ENANTIO-SELECTIVE PROCESS FOR PRODUCING PURE ENANTIOMERIC CYCLOPENTANE AND CYCLOPENTANE-(BETA)-AMINO ACIDS	MITTI , JOAC
08663160	5767137	250	08/01/1996	1,3,2-BENZODITHIAZOL-1-OXIDES AS MICROBIOCIDES	MITTI , JOAC
08563725	5700948	150	11/28/1995	PYRROLIDINE COMPOUNDS AND PROCESS OF PREPARING	MITTI , JOAC
08336584	5631291	150	11/09/1994	CYCLOPENTANE- AND -PENTENE-BETA-AMINO ACIDS	MITTI , JOAC
08308873	5739160	150	09/19/1994	CYCLOPENTANE- AND -PENTENE-BETA-AMINO ACIDS	MITTI , JOAC
08189214	Not Issued	161	01/31/1994	NEW SUBSTITUTED 2,3,-DIHYDROPYRANS, PROCESS FOR THEIR PREPARATION AND THEIR USE AS MEDICAMENTS	MITTI , JOAC
08066751	Not Issued	166	05/21/1993	CYCLOPENTANE- AND -PENTENE-BETA-AMINO ACIDS	MITTI , JOAC
07959845	Not Issued	161	10/13/1992	NEW SUBSTITUTED 2,3-DIHYDROPYRANS, PROCESS FOR THEIR PREPARATION AND THEIR USE AS MEDICAMENTS	MITTI , JOAC

07959838	5276169	150	10/13/1992	ANTIMYCOTIC CARBONYL-AND AMINO-SUBSTITUTED TETRAHYDROFURAN	MITTI , JOAC
07959824	Not Issued	161	10/13/1992	USE OF SUBSTITUTED PYRROLIDINES, SOME OF WHICH ARE KNOWN, AS MEDICAMENTS, NEW ACTIVE SUBSTANCES AND PROCESSES FOR THEIR PREPARATION	MITTI , JOAC
07958852	5321042	150	10/08/1992	USE OF SUBSTITUTED TETRAHYDROTHIOPHENES, SOME OF WHICH ARE KNOWN, AS MEDICAMENTS, NEW ACTIVE SUBSTANCES AND PROCESSES FOR THEIR PREPARATION	MITTI , JOAC
07934058	Not Issued	161	08/21/1992	NOVEL SUBSTITUTED 2,3-DIAMINO ACIDS, PROCESSES FOR THEIR PREPARATION AND THEIR USE AS INTERMEDIATES FOR PEPTIDE ACTIVE SUBSTANCES	MITTI , JOAC

Inventor Search Completed: No Records to Display.

Search Another: Inventor

Last Name Mittendorf **First Name** Joachim

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